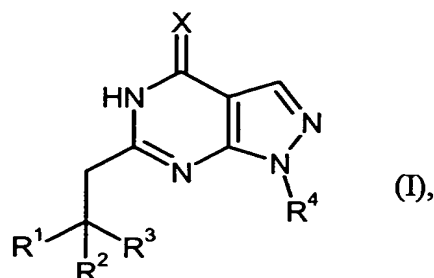


Claims

1. A compound of the formula



in which

R^1 is C_1 - C_6 -alkyl, trifluoromethyl, hydroxy, C_1 - C_6 -alkoxy, $-C(=O)OR^5$ or $-C(=O)NR^6R^7$, where C_1 - C_6 -Alkyl is optionally substituted by 1 to 3 radicals independently of one another selected from the group of hydroxy, C_1 - C_6 -alkoxy, halogen, trifluoromethyl, trifluoromethoxy, $-C(=O)OR^5$ or $-C(=O)NR^6R^7$, and

R^5 is C_1 - C_6 -alkyl,

R^6 and R^7 are independently of one another hydrogen, C_6 - C_{10} -aryl, C_1 - C_6 -alkyl, or together with the nitrogen atom to which they are bonded form a 4- to 10-membered heterocyclyl,

R^2 is hydrogen, C_1 - C_6 -alkyl, trifluoromethyl, C_1 - C_6 -alkoxy,

or

R^1 and R^2 together with the carbon atom to which they are bonded form C_3 - C_8 -cycloalkyl, C_3 - C_8 -cycloalkenyl or 4- to 10-membered heterocyclyl, which are optionally substituted by up to 2

substituents from the group of C₁-C₆-alkyl, C₁-C₆-alkoxy, hydroxy, oxo, -C(=O)OR⁸, and

R⁸ is C₁-C₆-alkyl or benzyl,

R³ is hydrogen or C₁-C₆-alkyl,

R⁴ is pentan-3-yl, C₃-C₆-cycloalkyl,

X is oxygen or sulfur,

and the salts, solvates and/or solvates of the salts thereof.

2. A compound as claimed in claim 1, wherein

R¹ is C₁-C₆-alkyl, hydroxy, C₁-C₆-alkoxy, -C(=O)OR⁵ or -C(=O)NR⁶R⁷, where C₁-C₆-alkyl is optionally substituted by hydroxy, C₁-C₆-alkoxy, -C(=O)OR⁵ or -C(=O)NR⁶R⁷, and

R⁵ is C₁-C₆-alkyl,

R⁶ and R⁷ are independently of one another hydrogen, C₆-C₁₀-aryl, C₁-C₆-alkyl, or

together with the nitrogen atom to which they are bonded form a 4- to 10-membered heterocyclyl,

R² is hydrogen, C₁-C₆-alkyl, C₁-C₆-alkoxy,

or

5 R^1 and R^2 together with the carbon atom to which they are bonded form
 C_3 - C_8 -cycloalkyl, C_3 - C_8 -cycloalkenyl or 4- to 10-membered
 heterocyclyl, which are optionally substituted by up to 2
 substituents from the group of C_1 - C_6 -alkyl, C_1 - C_6 -alkoxy,
 hydroxy, oxo, $-C(=O)OR^8$, and

R^8 is C_1 - C_6 -alkyl or benzyl,

10 R^3 is hydrogen or C_1 - C_6 -alkyl,

R^4 is pentan-3-yl, C_4 - C_6 -cycloalkyl,

X is oxygen or sulfur,

15 and the salts, solvates and/or solvates of the salts thereof.

3. A compound as claimed in claims 1 and 2, where

20 R^1 is C_1 - C_4 -alkyl, hydroxy, C_1 - C_4 -alkoxy, $-C(=O)OR^5$ or $-C(=O)NR^6R^7$,
 where C_1 - C_4 -alkyl is optionally substituted by hydroxy,
 trifluoromethyl, C_1 - C_4 -alkoxy, $-C(=O)OR^5$ or $-C(=O)NR^6R^7$, and

R^5 is C_1 - C_4 -alkyl,

25 R^6 and R^7 are independently of one another hydrogen, phenyl, C_1 -
 C_4 -alkyl, or

 together with the nitrogen atom to which they are
 bonded form a 5- to 6-membered heterocyclyl,

30

R^2 is hydrogen, C_1 - C_4 -alkyl, trifluoromethyl, C_1 - C_4 -alkoxy,

or

5 R^1 and R^2 together with the carbon atom to which they are bonded form
 C_5 - C_6 -cycloalkyl, C_5 - C_6 -cycloalkenyl or 5- to 6-membered
 heterocyclyl, which are optionally substituted by up to 2
 substituents from the group of C_1 - C_4 -alkyl, C_1 - C_4 -alkoxy,
 hydroxy, oxo, $-C(=O)OR^8$, and

10 R^8 is C_1 - C_4 -alkyl or benzyl,

R^3 is hydrogen,

15 R^4 is pentan-3-yl, C_5 - C_6 -cycloalkyl,

 X is oxygen or sulfur,

 and the salts, solvates and/or solvates of the salts thereof.

20 4. A compound as claimed in claims 1 to 3, where

R^1 is methyl, ethyl, isopropyl, trifluoromethyl, methoxycarbonyl,
 ethoxycarbonyl or $-C(=O)NR^6R^7$, where methyl is optionally
 substituted by methoxycarbonyl or ethoxycarbonyl, and

25 R^6 is phenyl and

R^7 is hydrogen,

30 R^2 is hydrogen, methyl, trifluoromethyl, or

R^1 and R^2 together with the carbon atom to which they are bonded form cyclopentyl, cyclohexyl, cyclopentenyl or tetrahydrofuryl, where cyclohexyl is optionally substituted by methyl, and

5 R^3 is hydrogen,

R^4 is pentan-3-yl, C_5 - C_6 -cycloalkyl,

10 X is oxygen or sulfur,

and the salts, solvates and/or solvates of the salts thereof.

5. A compound as claimed in claims 1 to 4, where

15 R^1 is methyl, ethyl, isopropyl, methoxycarbonyl, ethoxycarbonyl or $-C(=O)NR^6R^7$, where methyl is optionally substituted by methoxycarbonyl or ethoxycarbonyl, and

20 R^6 is phenyl and

R^7 is hydrogen,

R^2 is hydrogen, methyl, or

25 R^1 and R^2 together with the carbon atom to which they are bonded form cyclopentyl, cyclohexyl, cyclopentenyl or tetrahydrofuryl, where cyclohexyl is optionally substituted by methyl, and

30 R^3 is hydrogen,

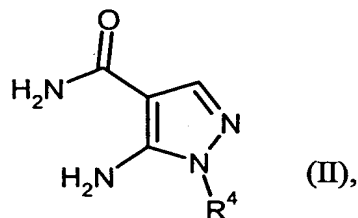
R^4 is pentan-3-yl, C_5 - C_6 -cycloalkyl,

X is oxygen,

and the salts, solvates and/or solvates of the salts thereof.

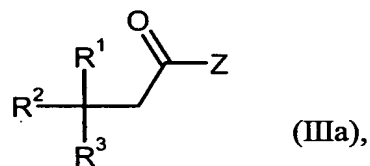
- 5 6. A process for preparing compounds as claimed in claims 1 to 5, characterized in that

[A] compounds of the formula



in which R⁴ has the meanings indicated above,

are converted by reaction with a compound of the formula

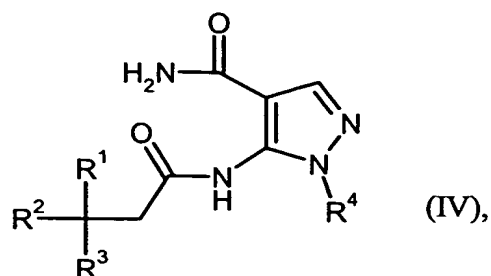


in which R¹, R² and R³ have the meanings indicated above,

and

Z is chlorine or bromine,

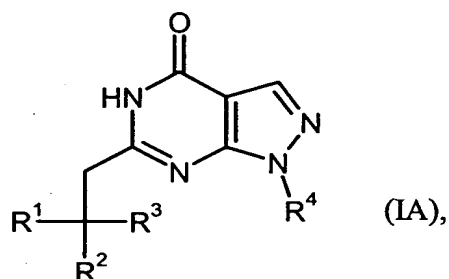
in an inert solvent and in the presence of a base initially into compounds of the formula



in which R^1 , R^2 , R^3 and R^4 have the meanings indicated above,

5

then cyclized in an inert solvent and in the presence of a base to compounds of the formula



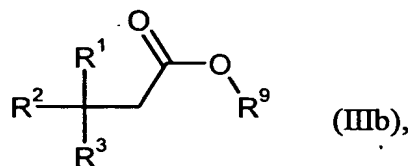
10

in which R^1 , R^2 , R^3 and R^4 have the meanings indicated above,

or

15

[B] compounds of the formula (II) are reacted, with direct cyclization to (IA), with a compound of the formula



in which R^1 , R^2 and R^3 have the meanings indicated above

and

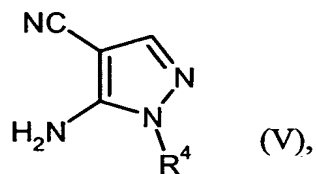
5 R^9 is methyl or ethyl,

in an inert solvent and in the presence of a base,

or

10

[C] compounds of the formula

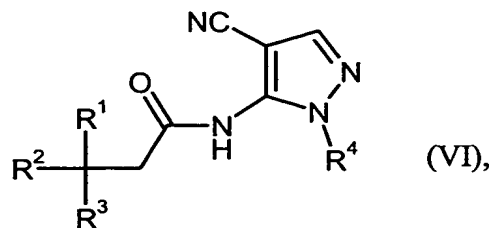


15

in which R^4 has the meanings indicated above,

are initially converted by reaction with a compound of the formula (IIIa) in an inert solvent and in the presence of a base into compounds of the formula

20

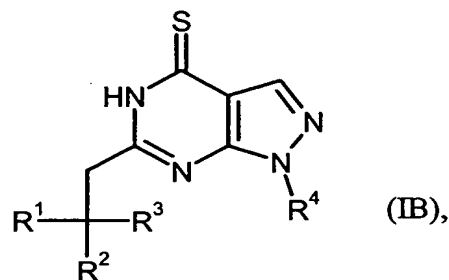


in which R^1 , R^2 , R^3 and R^4 have the meanings indicated above,

and the latter are cyclized in a second step in an inert solvent and in the presence of a base and of an oxidizing agent to (IA),

5

and the compounds of the formula (IA) are where appropriate then converted by reaction with a sulfurizing agent such as, for example, diphosphorus pentasulfide into the thiono derivatives of the formula



10

in which R^1 , R^2 , R^3 and R^4 have the meanings indicated above,

and the resulting compounds of the formula (I) are reacted where appropriate with the appropriate (i) solvents and/or (ii) bases or acids to give the solvates, salts and/or solvates of the salts thereof.

15

7. A compound as claimed in any of claims 1 to 5 for the treatment and/or prophylaxis of diseases.

20

8. A medicament comprising at least one of the compounds as claimed in any of claims 1 to 5 and at least one pharmaceutically acceptable, essentially nontoxic carrier or excipients.

25

9. The use of the compounds as claimed in any of claims 1 to 5 for producing a medicament for the prophylaxis and/or treatment of impairments of perception, concentration, learning and/or memory.

10. The use as claimed in claim 9, where the impairment is a consequence of Alzheimer's disease.
- 5 11. The use of the compounds as claimed in any of claims 1 to 5 for producing a medicament for improving perception, concentration, learning and/or memory.
- 10 12. A method for controlling impairment of perception, concentration, learning and/or memory in humans or animals through administration of an effective amount of the compounds from claims 1 to 5.
13. The method as claimed in claim 12, where the impairment is a consequence of Alzheimer's disease.